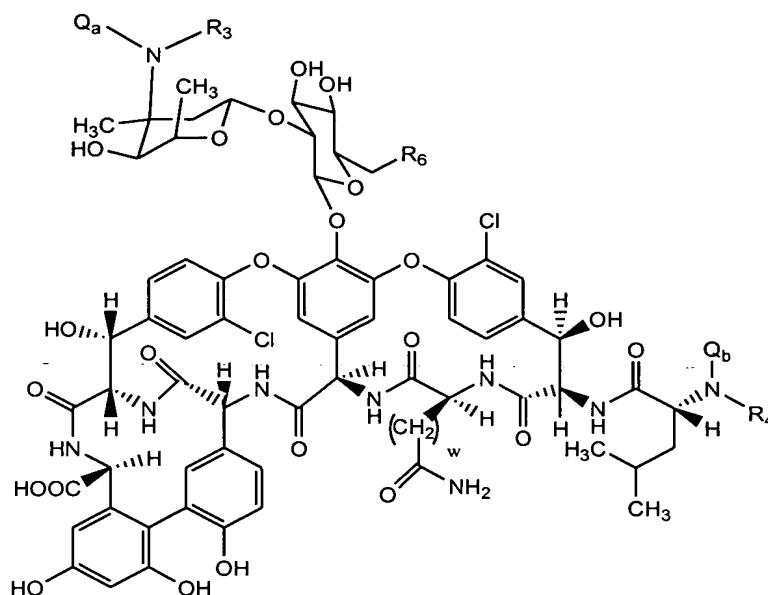


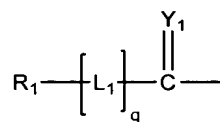
We Claim:

1. A compound of the formula (I)



wherein:

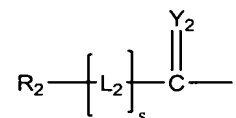
- 5 R₃-R₅ are each independently selected from among hydrogen, C₁₋₆ alkyls, C₃₋₁₂ branched alkyls, C₃₋₈ cycloalkyls, C₁₋₆ substituted alkyls, C₃₋₈ substituted cycloalkyls, aryls, substituted aryls, aralkyls, C₁₋₆ alkenyls, C₃₋₁₂ branched alkenyls, C₁₋₆ alkynyls, C₃₋₁₂ branched alkynyls, C₁₋₆ heteroalkyls, substituted C₁₋₆ heteroalkyls, C₁₋₆ alkoxyalkyl, phenoxyalkyl and C₁₋₆ heteroalkoxys;
- 10 R₆ is OH, NH-aryl, NH-aralkyl, or NH-C₁₋₁₂ alkyl,
 w is 1 or 2;
 Q_a is H or a residue of the formula:



wherein:

- 15 R₁ is a polymer residue;
Y₁ is O, S or NR₅; and
L₁ is a hydrolysis resistant bifunctional linker;
q is 0 or a positive integer; and

Q_b is H or a residue of the formula:



wherein:

R_2 is a polymer residue;

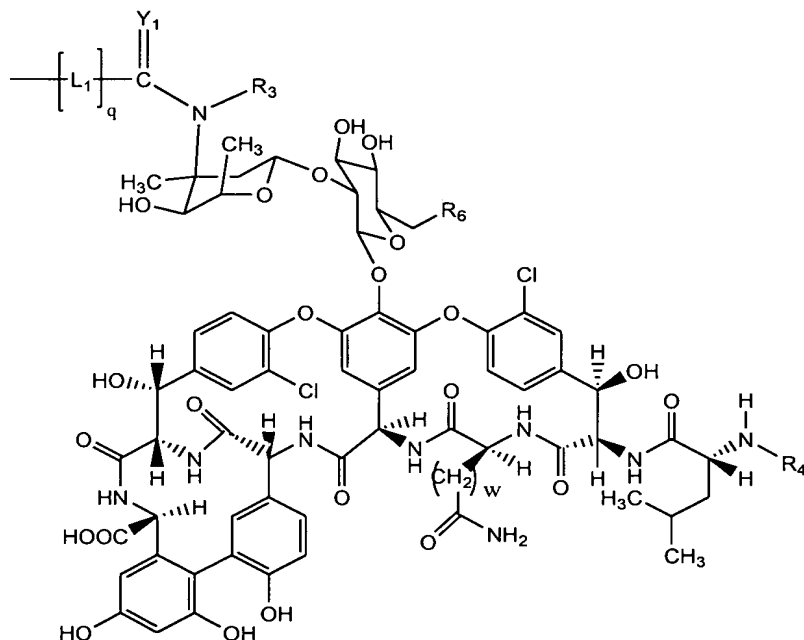
5 Y_2 is O, S or NR_5 ; and

L_2 is a hydrolysis resistant bifunctional linker;

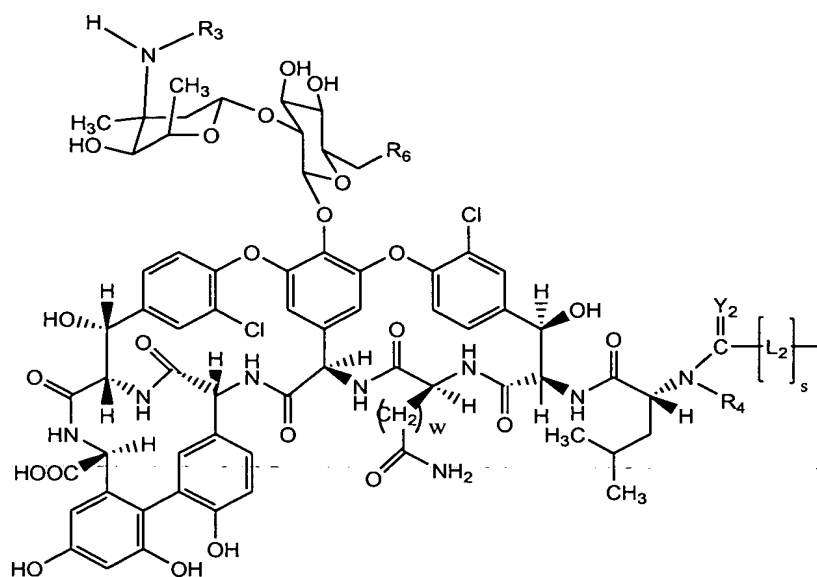
s is 0 or a positive integer;

provided that Q_a and Q_b are both not simultaneously H.

- 10 2. The compound of claim 1 wherein R_1 further comprises a capping group J selected from the group consisting of OH, NH_2 , SH, CO_2H , C_{1-6} alkyl moieties, and a compound of the formula:



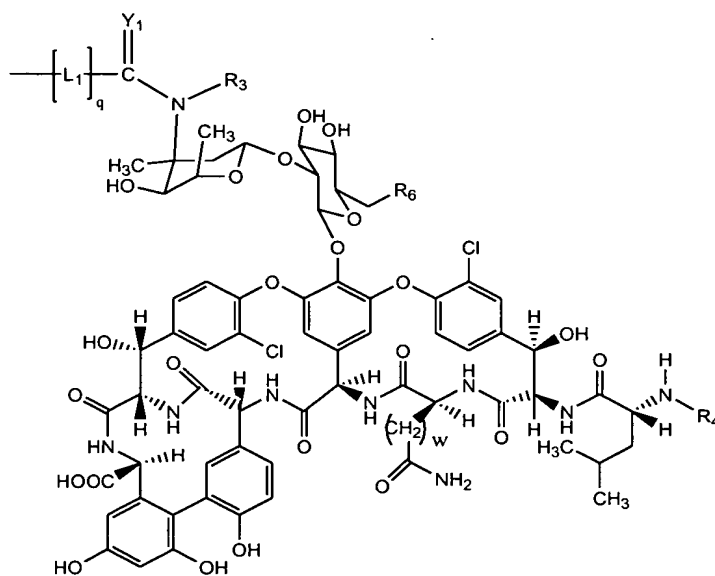
- 15 3. The compound of claim 1 wherein R_2 further comprises a capping group J selected from the group consisting of OH, NH_2 , SH, CO_2H , C_{1-6} alkyl moieties, and a compound of the formula:



4. A compound of claim 2 of the formula:

(i)-R₁-(i)

5 wherein (i) is:



wherein:

Y₁ is O;

L₁ is a hydrolysis resistant bifunctional linker;

R_3 and R_4 are each independently hydrogen or CH_3 ;

R_6 is OH or NH-aryl;

q is 0-2; and

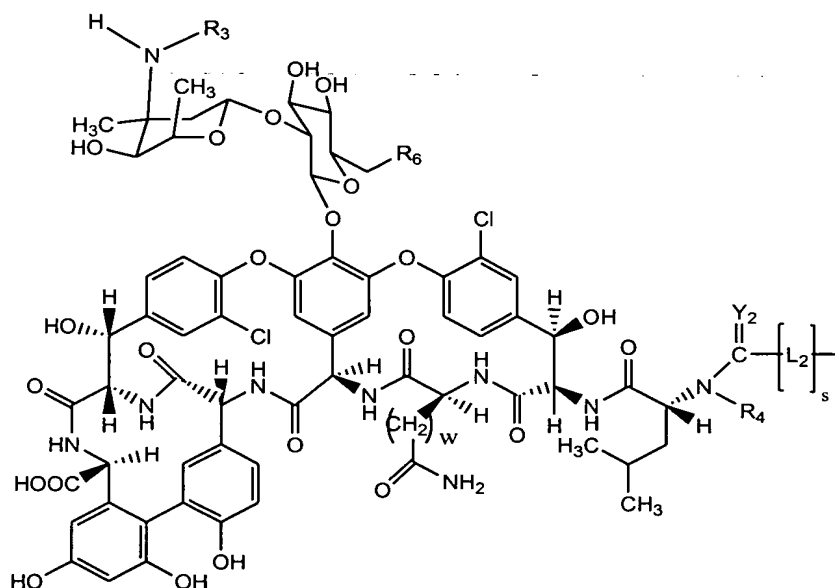
w is 1.

5

5. A compound of claim 2 of the formula:

(ii)- R_2 -(ii)

wherein (ii) is:



10 wherein:

Y_2 is O;

L_2 is a hydrolysis resistant bifunctional linker

R_3 and R_4 are each independently hydrogen or CH_3 ;

R_6 is OH or NH-aryl;

15 s is 0-2; and

w is 1.

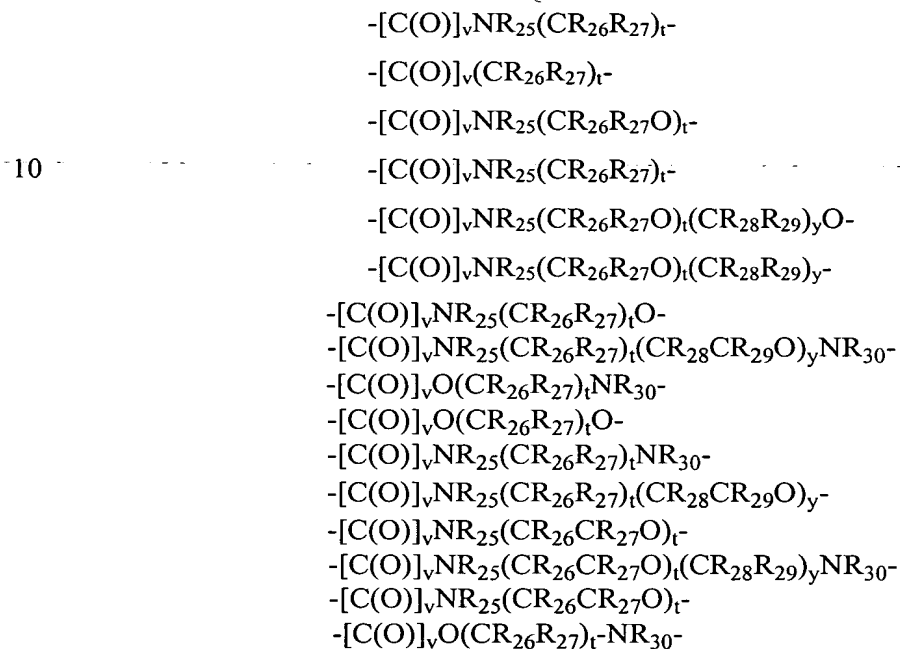
6. The compound of claim 1 wherein:

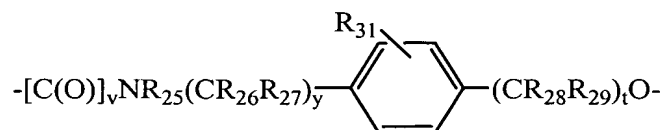
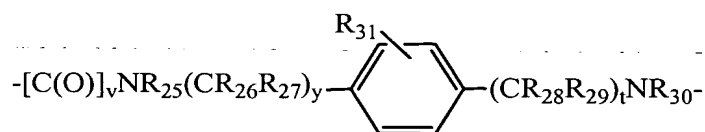
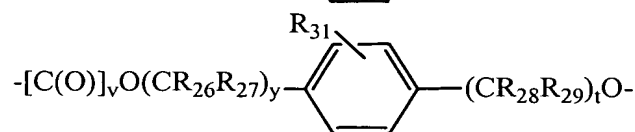
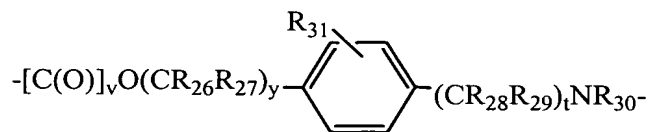
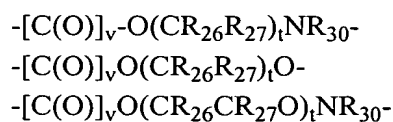
Y_1 and Y_2 are independently O;

20 R_3 and R_4 are each independently hydrogen or CH_3 ;

R_6 is OH or NH-aryl;
 q and s are independently 0-2; and
 w is 1.

- 5 7. The compound of claim 1 wherein L_{1-3} are bifunctional linkers
independently selected from the group consisting of amino acid residues and





wherein:

R_{25} - R_{30} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{2-6} alkenyls, C_{2-6} alkynyls, C_{3-19} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{2-6} substituted alkenyls, C_{2-6} substituted alkynyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, substituted C_{1-6} hetero-alkyls, C_{1-6} alkoxyalkyl, phenoxyalkyl and C_{1-6} hetero-alkoxys;

R_{31} is selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{2-6} alkenyls, C_{2-6} alkynyls, C_{3-19} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{2-6} substituted alkenyls, C_{2-6} substituted alkynyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, substituted C_{1-6} heteroalkyls, C_{1-6} alkoxyalkyl, phenoxyalkyl and C_{1-6} heteroalkoxys, NO_2 , haloalkyl and halogen;

t and y are individually selected positive integers, and v is 0 or 1.

8. The compound of claim 7 wherein the amino acid residue is selected from the group consisting of alanine, valine, leucine, isoleucine, glycine, serine, threonine, methionine, cysteine, phenylalanine, tyrosine, tryptophan, aspartic acid, glutamic acid, lysine, arginine, histidine and proline.

5

9. The compound of claim 1, wherein R_1 and R_2 independently comprise a linear, terminally branched or multi-armed polyalkylene oxide residue.

10. The compound of claim 9, wherein said polyalkylene oxide residue comprises polyethylene glycol:

11. The compound of claim 9, wherein said linear polyalkylene oxide residue is selected from the group consisting of:

15

$$\begin{aligned} &A-O-(CH_2CH_2O)_x- \\ &A-O-(CH_2CH_2O)_x-CH_2C(O)-O-, \\ &A-O-(CH_2CH_2O)_x-CH_2CH_2NR_7-, \\ &A-O-(CH_2CH_2O)_x-CH_2CH_2SH, \\ &-O-C(O)CH_2-O-(CH_2CH_2O)_x-CH_2C(O)-O-, \\ &-NR_7CH_2CH_2-O-(CH_2CH_2O)_x-CH_2CH_2NR_7-, \\ &-SHCH_2CH_2-O-(CH_2CH_2O)_x-CH_2CH_2SH-, \end{aligned}$$

wherein

20

A is a capping group;
 R_7 is selected from that which defines R_3 , and
 x is the degree of polymerization.

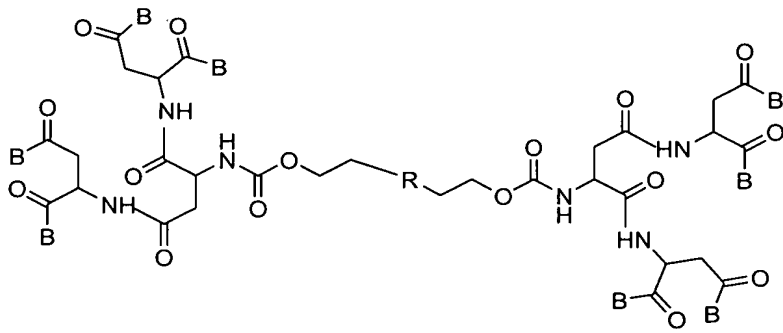
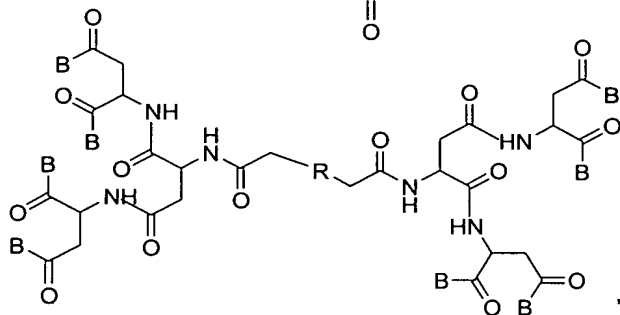
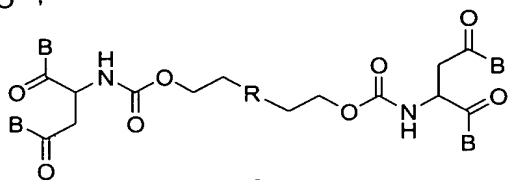
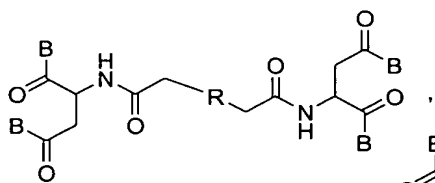
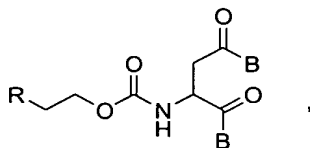
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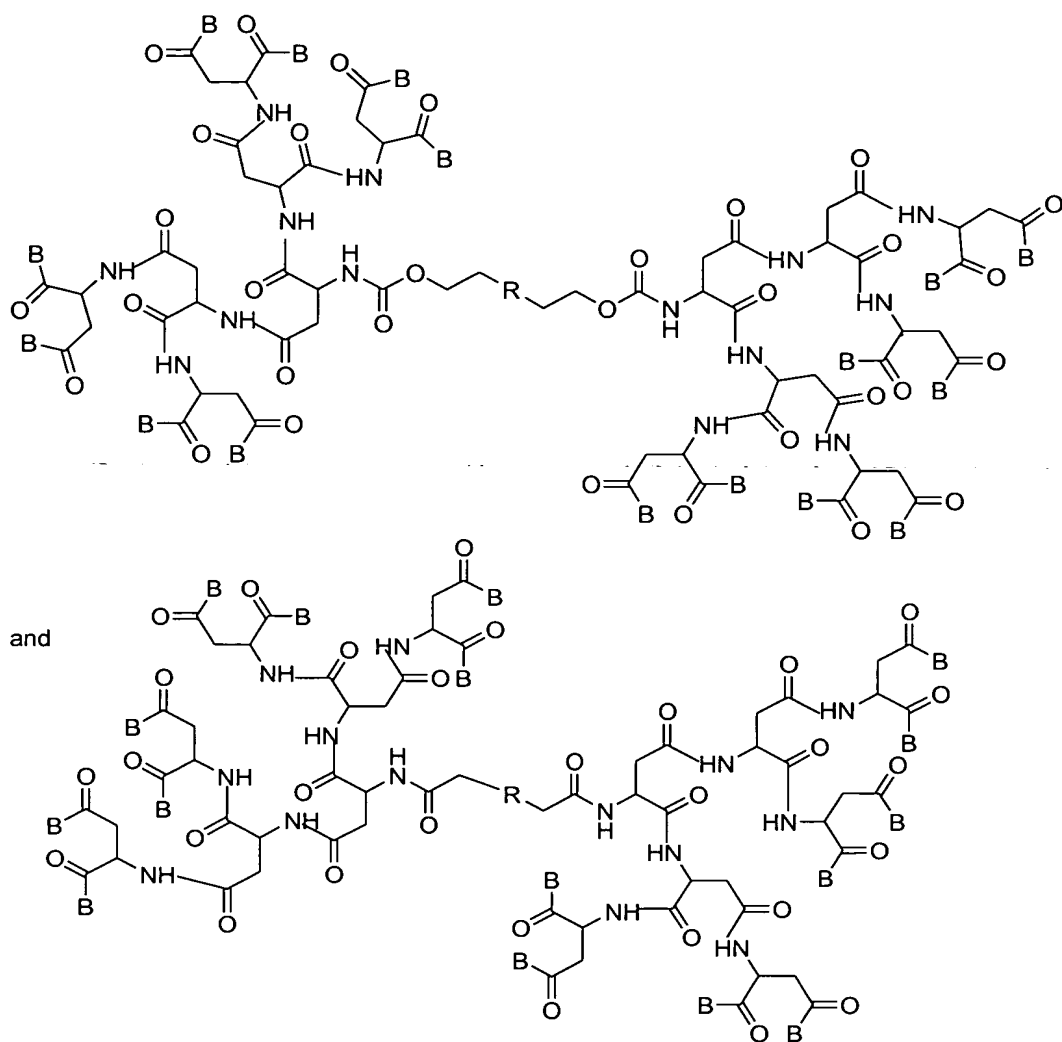
12. The compound of claim 11 wherein said polyalkylene oxide residue has a total number average molecular weight of from about 5,000 to about 100,000 daltons.

30

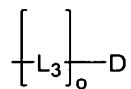
13. The compound of claim 11, wherein said polyalkylene oxide residue has a total number average molecular weight of from about 10,000 to about 80,000 daltons.

5

R-CH2-C(=O)-NH-CH2-C(=O)-B-C(=O)-B



where R is a linear polymeric residue such as those described above for R₁
 5 and R₂, and B is a moiety of the formula:



wherein,

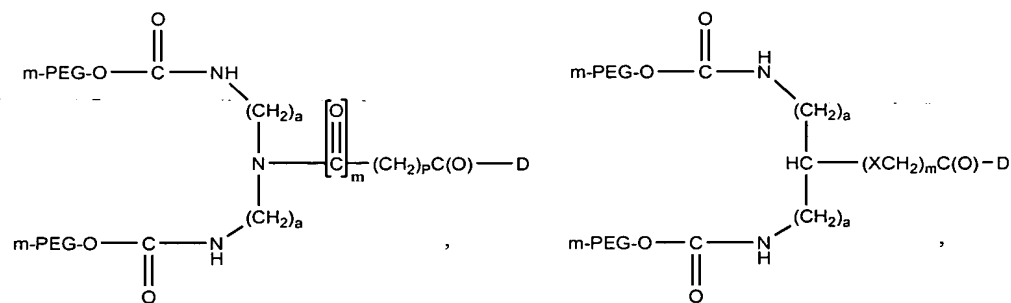
L₃ is the same as that which describes L₁ and L₂;

o is 0 or 1, and

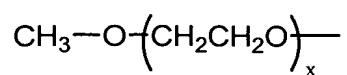
D is a moiety of the formula Va or Vb.

10

16. The compound of claim 15, wherein said polyalkylene oxide residue comprises polyethylene glycol.
17. The compound of claim 16, wherein said polyethylene glycol has a number
5 average molecular weight of from about 2,000 to about 100,000 daltons.
18. The compound of claim 16, wherein said polyethylene glycol has a number average molecular weight of from about 20,000 to about 40,000 daltons.
- 10 19. The compound of claim 9, selected from the group consisting of:

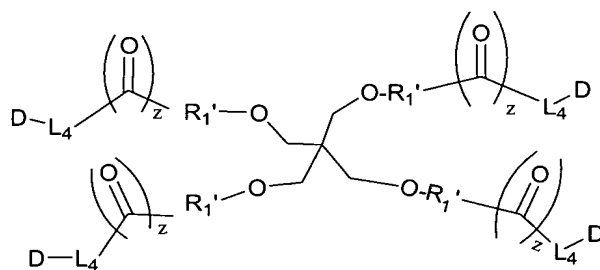
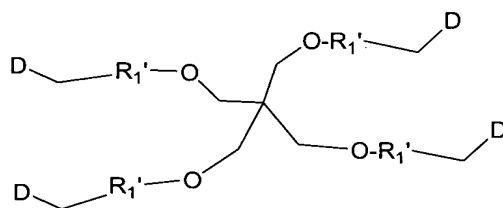
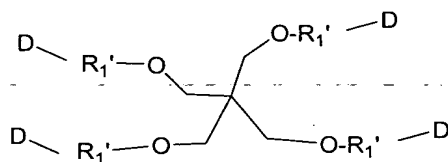

$$\begin{array}{c}
 \text{O} \\
 \parallel \\
 \text{m-PEG}-\text{C}-\text{NH} \\
 \quad \quad \quad \diagdown \\
 \quad \quad \quad (\text{CH}_2)_a \\
 \quad \quad \quad | \\
 \quad \quad \quad \text{HC}-\text{(XCH}_2\text{)}_m\text{C(O)-D} \\
 \quad \quad \quad | \\
 \quad \quad \quad (\text{CH}_2)_a \\
 \quad \quad \quad \diagup \\
 \quad \quad \quad \text{N} \\
 \parallel \\
 \text{C} \\
 \text{m-PEG}-\text{C}
 \end{array}$$

mPEG is

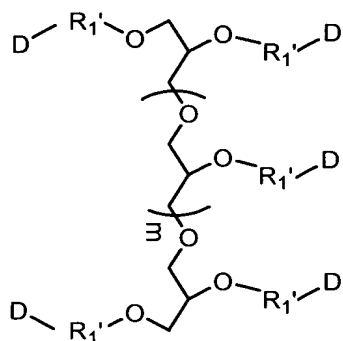


wherein x is an integer from about 10 to about 2,300, and has a number average molecular weight of from about 2,000 to about 100,000 daltons.

20. The compound of claim 19, wherein mPEG has a number average molecular weight of from about 20,000 to about 40,000 daltons.
21. The compound of claim 1, selected from the group consisting of the formulas:



and



wherein,

m is 0 - 4;

z is 0 or 1;

L_4 is the same as that which defines L_{1-3} ;

D is a moiety of the formula V_a or V_b ;

$R_1' =$

$-(CH_2CH_2O)_x^-$;

$-(CH_2CH_2O)_x-CH_2C(O)-$;

$-(CH_2CH_2O)_x-CH_2CH_2NR_7^-$, and

$-(CH_2CH_2O)_x-CH_2CH_2SH-$;

5 where x is a positive integer ;

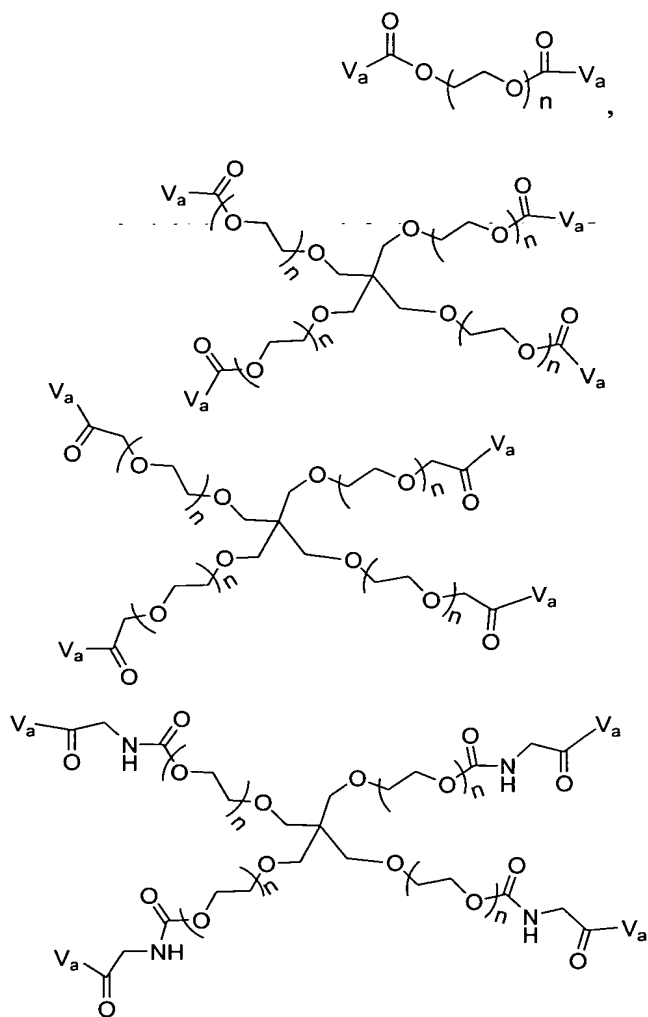
R_{13-24} are independently selected from among hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} alkenyls, C_{3-12} branched alkenyls, C_{1-6} alkynyls, C_{3-12} branched alkynyls, C_{1-6} heteroalkyls, substituted C_{1-6} hetero-
 10 alkyls, C_{1-6} alkoxyalkyl, phenoxyalkyl and C_{1-6} heteroalkoxys.

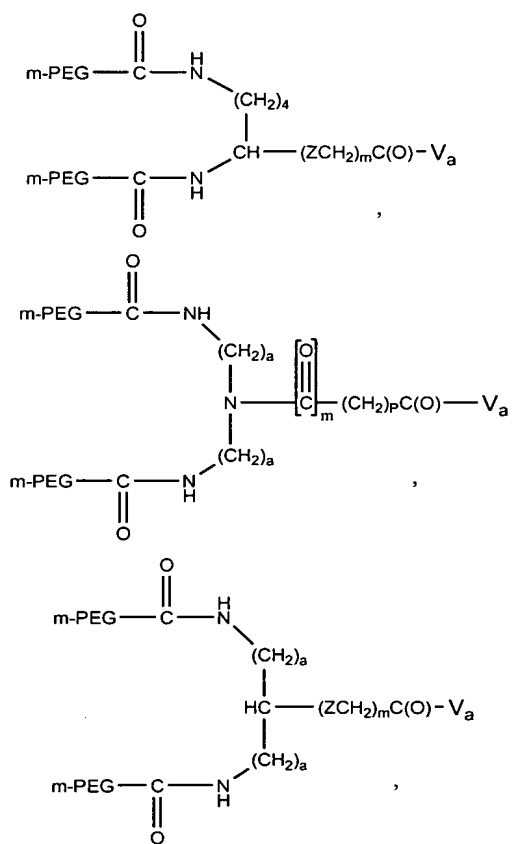
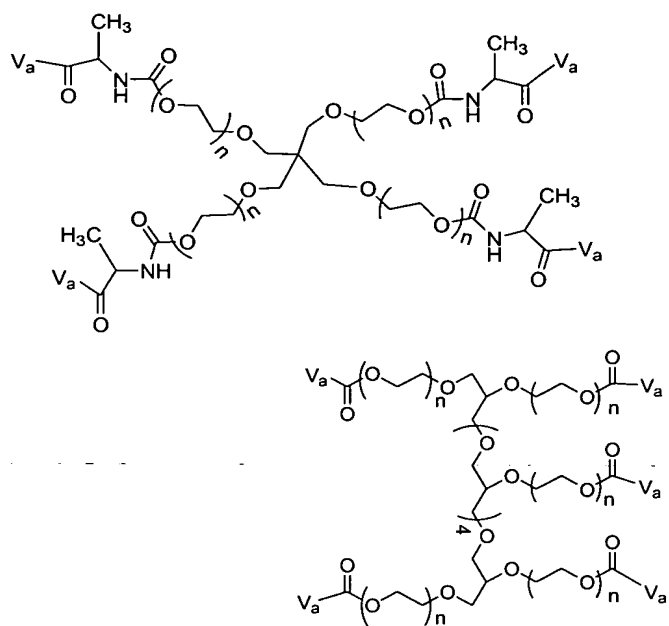
22. The compound of claim 21, wherein x is a positive integer such that the poly portion has a number average molecular weight of from about 2,000 to about 100,000 daltons.

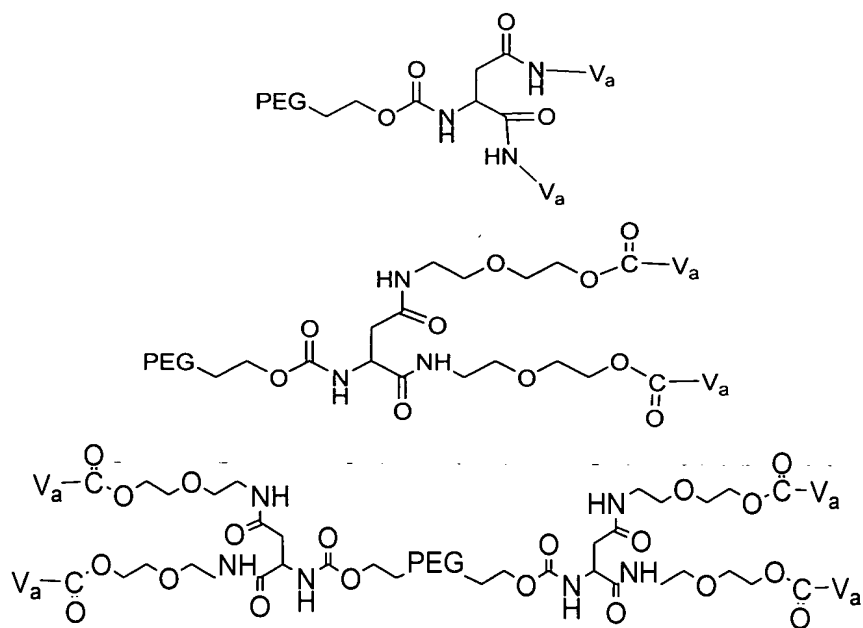
15

23. The compound of claim 21, wherein x is a positive integer such that the poly portion has a number average molecular weight of from about 20,000 to about 40,000 daltons.

5 24. A compound selected from the group consisting of:



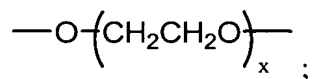




wherein:

5

PEG is



(a) is an integer of from about 1 to about 5;

Z is O, NR₈, S, SO or SO₂; where R₈ is H, C₁₋₈ alkyl, C₁₋₈ branched alkyl, C₁₋₈ substituted alkyl, aryl or aralkyl;

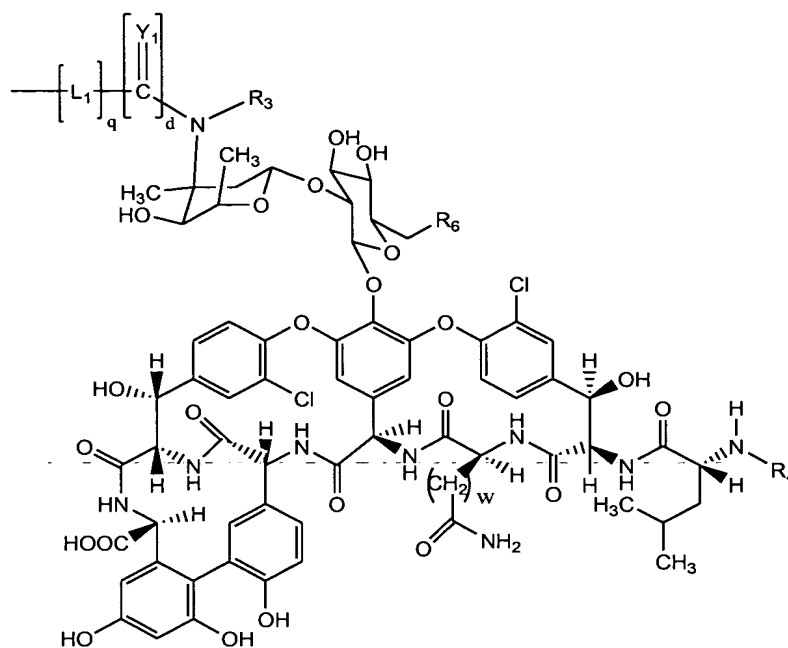
10

(m) is 0 or 1;

(p) is a positive integer;

x is 10 to 2,300; and

V_a is a moiety of the formula:



wherein:

Y_1 is O;

L_1 is a bifunctional linker;

5 R_3 and R_4 are each independently hydrogen or CH_3 ;

R_6 is OH or NH-aryl;

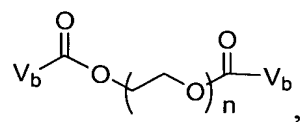
q is 0-2;

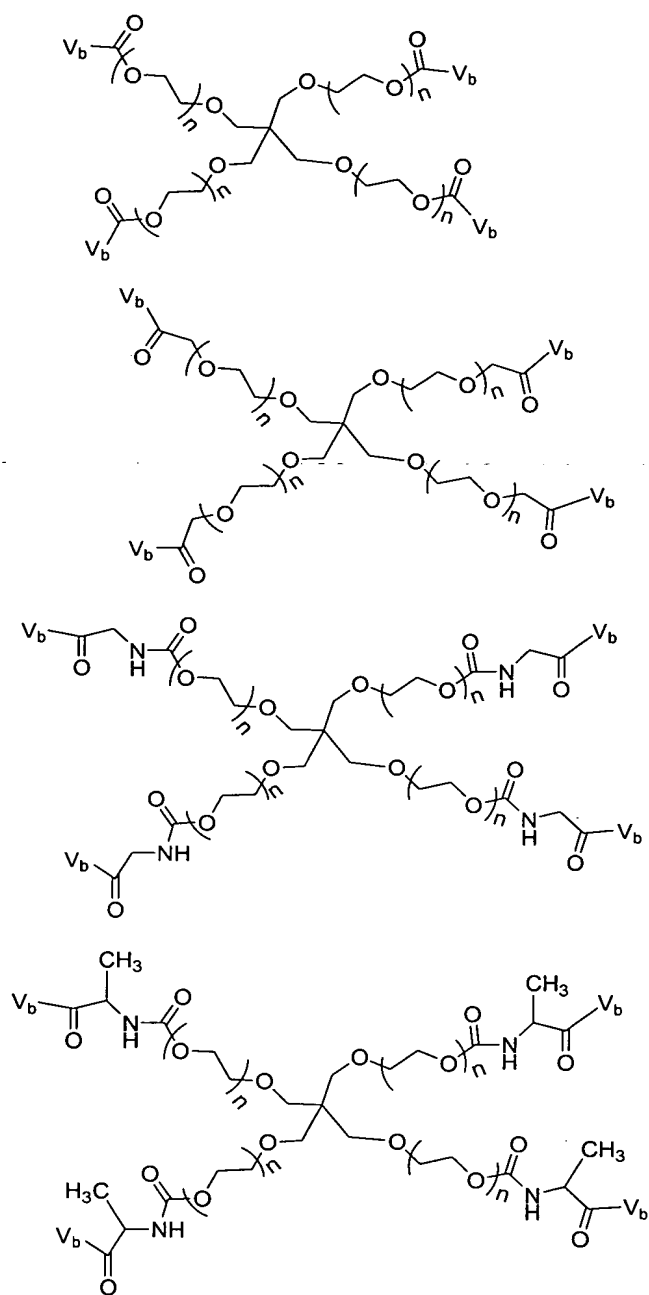
d is 0 or 1; and

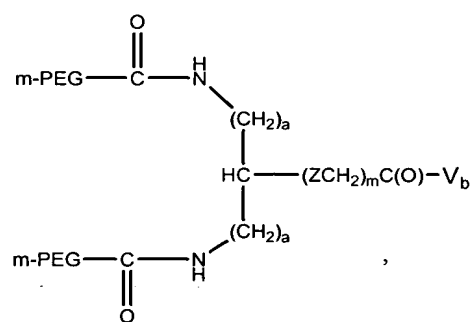
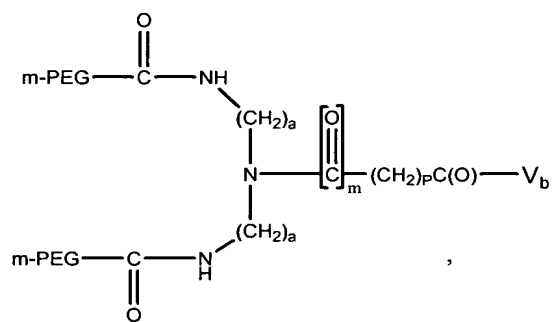
w is 1.

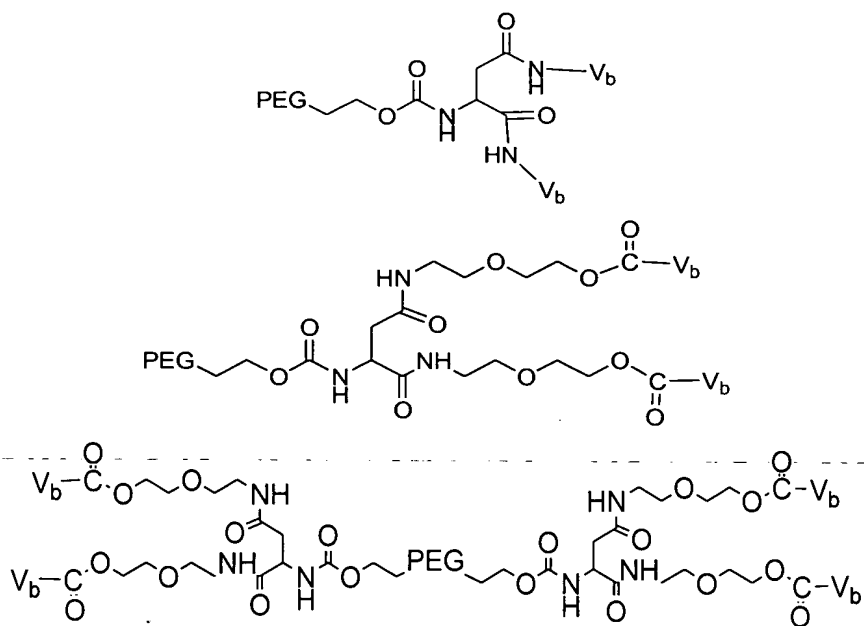
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25. A compound selected from the group consisting of:





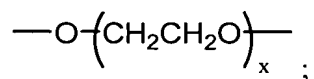




wherein:

5

PEG is



(a) is an integer of from about 1 to about 5;

Z is O, NR_8 , S, SO or SO_2 ; where R_8 is H, C_{1-8} alkyl, C_{1-8} branched alkyl, C_{1-8} substituted alkyl, aryl or aralkyl;

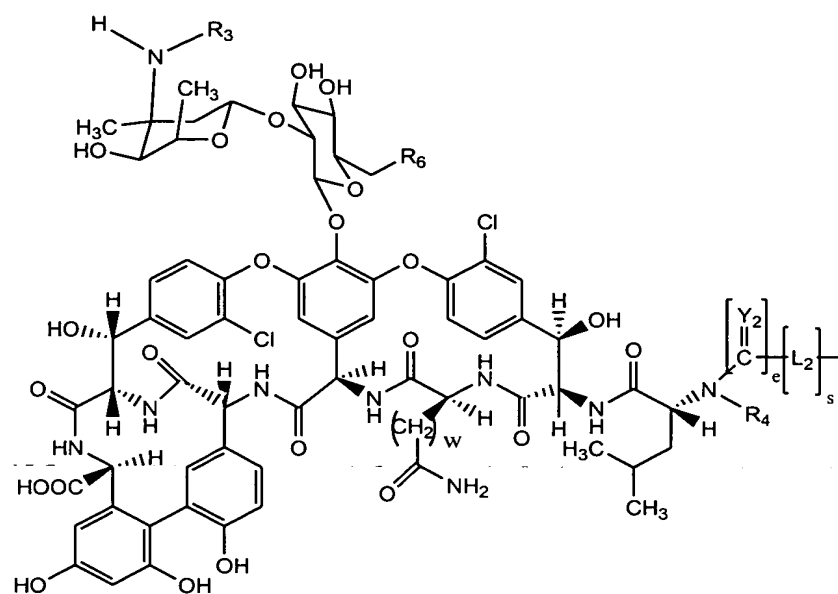
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(m) is 0 or 1;

(p) is a positive integer, from about 1 to about 6;

x is 10 to 2,300, and

V_b is:



wherein:

Y_2 is O;

L_2 is a bifunctional linker

5 R_3 and R_4 are each independently hydrogen or CH_3 ;

R_6 is OH or NH-aryl;

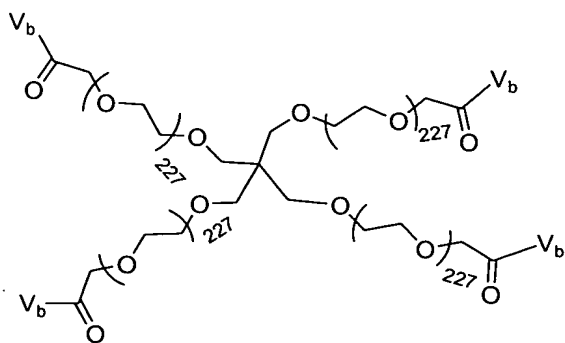
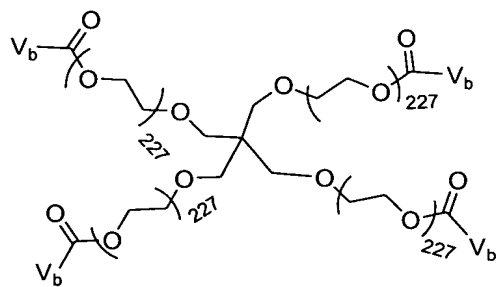
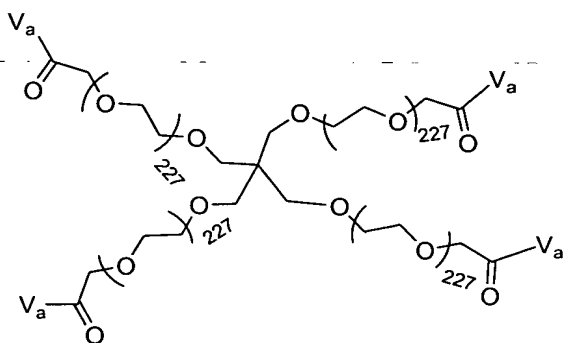
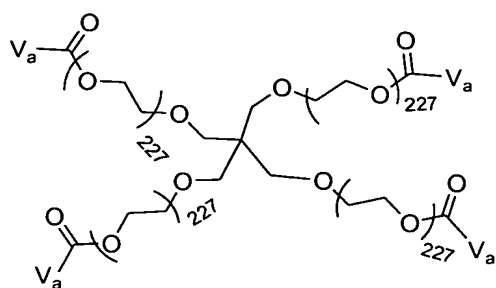
s is 0-2;

e is 0 or 1; and

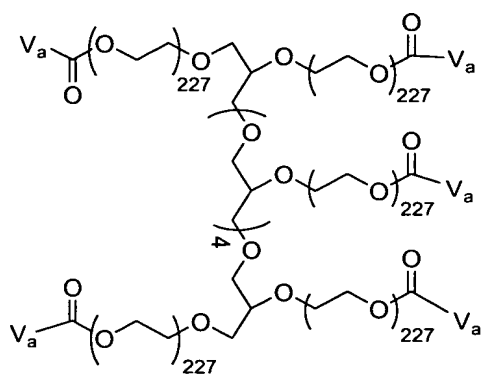
w is 1.

10

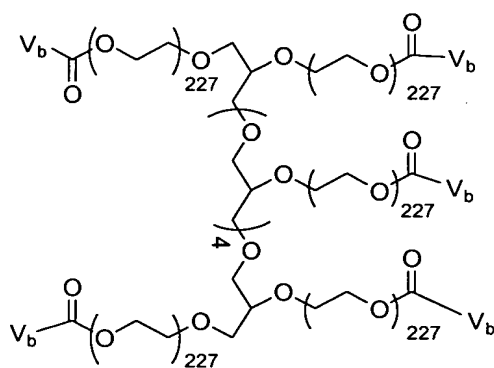
26. A compound of claim 1 having the formula:



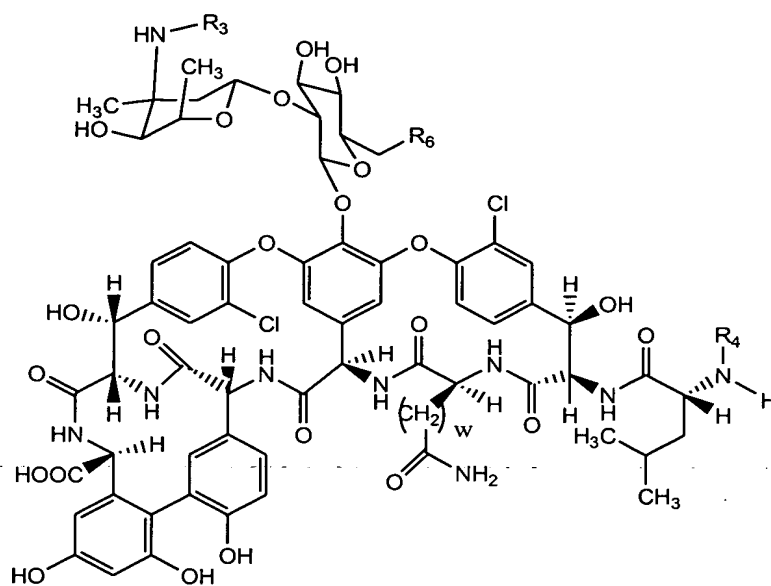
'''



and



27. A process for preparing a conjugate of claim 1 comprising, reacting a vancomycin compound of the formula:



wherein

R₃ and R₄ are independently selected from the group consisting of hydrogen, C₁₋₆ alkyls, C₃₋₁₂ branched alkyls, C₃₋₈ cycloalkyls, C₁₋₆ substituted alkyls, C₃₋₈ substituted cycloalkyls, aryls, substituted aryls, aralkyls, C₁₋₆ hetero-alkyls, substituted C₁₋₆ hetero-alkyls, C₁₋₆ alkoxyalkyl, phenoxyalkyl and C₁₋₆ heteroalkoxys;

R₆ is OH, NH-aryl, NH-aralkyl, or NH-C₁₋₁₂ alkyl; and

w is 1 or 2;

with a polymer residue containing at least one leaving group capable of reacting with the sugar amino group of said vancomycin compound in the presence of at least about a twenty-fold molar excess of triethylamine and a sufficient amount of dimethylformamide.

28. The process of claim 25 further comprising reacting said sugar amino conjugate with a second activated polymer residue containing at least one leaving group capable of reacting with the N-methyl-amino group of said conjugate in the presence of at least about a 5 fold molar excess of dimethylaminopyridine and a sufficient amount of a solvent mixture of dichloromethane and dimethylformamide.

29. The process of claim 26, wherein said solvent mixture comprises about equal parts dichloromethane and dimethylformamide.
30. A method of treating a vancomycin susceptible disease in a mammal
5 comprising administering an effective amount of a compound of claim 1, to a mammal in need of such treatment, whereby, the compound of claim 1 undergoes degradation and releases vancomycin or a vancomycin derivative *in vivo*.
31. A method of treating a vancomycin susceptible disease in a mammal
10 comprising administering an effective amount of a compound of claim 24, to a mammal in need of such treatment, whereby, the compound of claim 24 undergoes degradation and releases vancomycin or a vancomycin derivative *in vivo*.
32. A method of treating a vancomycin susceptible disease in a mammal
15 comprising administering to a mammal in need of such treatment, an effective amount of a combination of vancomycin or a pharmaceutically acceptable salt, solvate or hydrate thereof, and a compound of claim 1.
33. A kit comprising in separate containers in a single package, pharmaceutical
20 compositions for use in combination to treat a vancomycin susceptible disease which comprises in one container a therapeutically effective amount of vancomycin or a pharmaceutically acceptable salt, solvate or hydrate thereof in a pharmaceutically acceptable carrier and in a second container a therapeutically
25 effective amount of a compound of claim 1 or a pharmaceutically acceptable salt, solvate or hydrate thereof in a pharmaceutically acceptable carrier.